

=> fil reg capl

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FULL ESTIMATED COST	ENTRY	SESSION
	0.20	543.19
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY	SESSION
	0.00	-0.54

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=> d que 112

L9 STR  
 / Structure 1 in file .gra /

Structure attributes must be viewed using STN Express query preparation.

L11 10 SEA FILE=REGISTRY SSS FUL L9  
 L12 2 SEA FILE=CAPLUS L11

=> d que 113

L9 STR  
 / Structure 2 in file .gra /

Structure attributes must be viewed using STN Express query preparation.

L11 10 SEA FILE=REGISTRY SSS FUL L9  
 L13 0 SEA FILE=BEILSTEIN L11

=> d 112 ibib iabs hitstr total

L12 ANSWER 1 OF 2 CAPLUS COPYRIGHT 1999 ACS  
 ACCESSION NUMBER: 1998:604913 CAPLUS  
 DOCUMENT NUMBER: 129:216617  
 TITLE: Preparation of  
 amidinophenylethylbenzimidazolylcarboxa  
 mides and related compounds as thrombin inhibitors.  
 INVENTOR(S): Huel, Norbert; Ries, Uwe; Priepke, Henning; Wienen,  
 Wolfgang; Stassen, Jean Marie  
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany  
 SOURCE: PCT Int. Appl., 201 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9837075	A1	19980827	WO 98-EP865	19980216
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
DE 19706229	A1	19980820	DE 97-19706229	19970218
AU 9863991	A1	19980909	AU 98-63991	19980216
PRIORITY APPLN. INFO.:			DE 97-19706229	19970218
			DE 97-19751939	19971124
			WO 98-EP865	19980216

OTHER SOURCE(S): MARPAT 129:216617

## ABSTRACT:

Ra-A-Het-B-Ar-E [A = CO, SO<sub>2</sub>; B = CH<sub>2</sub>CH<sub>2</sub>, OCH<sub>2</sub>, SCH<sub>2</sub>, SOCH<sub>2</sub>, SO<sub>2</sub>CH<sub>2</sub>, NR<sub>1</sub>CH<sub>2</sub>;R<sub>1</sub>

= H, alkyl; E = cyano, RbNHC(:NH); Rb = H, OH, alkyl, group cleavable in vivo;

Ar = (substituted) phenylene, naphthylene, thienylene, thiazolylene, pyridinylene, pyrimidinylene, pyrazinylene, pyridazinylene; Het = specified bicyclic heterocyclyl; Ra = (substituted) alkyl, amino] were prepd. Thus, 1-methyl-2-[N-(4-amidinophenyl)aminomethyl]benzimidazol-5-ylcarboxylic acid N-(2-pyridyl)-N-(2-carboxyethyl)amide (prepn. given) gave a thrombin time ED<sub>200</sub>

of 0.03 .mu.M.

IT 211914-41-9P 211914-42-0P 211914-57-7P

211915-08-1P 211915-09-2P 211915-10-5P

211915-11-6P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(prepn. of amidinophenylethylbenzimidazolylcarboxamides and related compds. as thrombin inhibitors)

RN 211914-41-9 CAPLUS

CN Glycine, N-[[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

/ Structure 3 in file .gra /

RN 211914-42-0 CAPLUS

CN Glycine, N-[[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

/ Structure 4 in file .gra /

RN 211914-57-7 CAPLUS

CN Glycine, N-[[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

/ Structure 5 in file .gra /

RN 211915-08-1 CAPLUS  
CN Glycine,  
N-[[2-[[[4-[imino[[2-(methylsulfonyl)ethoxy]carbonyl]amino]methy  
l]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-  
pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)

/ Structure 6 in file .gra /

/ Structure 7 in file .gra /

RN 211915-09-2 CAPLUS  
CN Glycine,  
N-[[2-[[[4-[[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenyl]am  
ino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-,  
methyl  
ester (9CI) (CA INDEX NAME)

/ Structure 8 in file .gra /

RN 211915-10-5 CAPLUS  
CN Glycine,  
N-[[2-[[[4-[imino[(methoxycarbonyl)amino]methyl]phenyl]amino]meth  
yl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, ethyl ester  
(9CI) (CA INDEX NAME)

/ Structure 9 in file .gra /

RN 211915-11-6 CAPLUS  
CN Glycine,  
N-[[2-[[[4-[[[ethoxycarbonyl]amino]iminomethyl]phenyl]amino]methy  
l]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, methyl ester  
(9CI) (CA INDEX NAME)

/ Structure 10 in file .gra /

IT 211916-38-0  
RL: RCT (Reactant)  
(prepn. of amidinophenylethylbenzimidazolylcarboxamides and related  
comps. as thrombin inhibitors)  
RN 211916-38-0 CAPLUS  
CN Glycine, N-[[2-[[[4-cyanophenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-  
yl]carbonyl]-N-2-pyridinyl-, methyl ester (9CI) (CA INDEX NAME)

/ Structure 11 in file .gra /

IT 211915-82-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of amidinophenylethylbenzimidazolylcarboxamides and related  
comps. as thrombin inhibitors)  
RN 211915-82-1 CAPLUS

CN Glycine, N-[[2-[[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)

/ Structure 12 in file .gra /

L12 ANSWER 2 OF 2 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1998:558825 CAPLUS

DOCUMENT NUMBER: 129:189325

TITLE: Preparation of

2-(amidinoanilinomethyl)benzimidazole-5-

carboxamides and analogs as antithrombotics

INVENTOR(S): Huel, Norbert; Ries, Uwe; Priepke, Henning; Wienen, Wolfgang; Stassen, Jean Marie

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 62 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19706229	A1	19980820	DE 97-19706229	19970218
WO 9837075	A1	19980827	WO 98-EP865	19980216
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9863991	A1	19980909	AU 98-63991	19980216
PRIORITY APPLN. INFO.:			DE 97-19706229	19970218
			DE 97-19751939	19971124
			WO 98-EP865	19980216
OTHER SOURCE(S):	MARPAT 129:189325			
GRAPHIC IMAGE:				

/ Structure 13 in file .gra /

#### ABSTRACT:

RaAHetBArE [I; A = CO or SO<sub>2</sub>; B = ZCH<sub>2</sub> or CH<sub>2</sub>Z; E = cyano or C(:NH)NHR<sub>b</sub>; Ar = (un)substituted phenylene or -naphthylene; Het = e.g., heteroarylene residue II; Ra = (cyclo)alkyl, (di)(alkyl)amino, etc.; R<sub>b</sub> = H, OH, alkyl, etc.; X = N or (alkyl-substituted) CH; Y = O, S, (alkyl)imino, etc.; Z = CH<sub>2</sub>, O, SO<sub>0-2</sub>] were prepd. Thus, PhNHCH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>Et was amidated by 4-aminomethyl-3-nitrobenzoyl chloride and the reduced product cyclocondensed with 4-(NC)C<sub>6</sub>H<sub>4</sub>NHCH<sub>2</sub>CO<sub>2</sub>H to give, after hydrolysis and sapon., title compd. III. Data for biol. activity of I were given.

IT 211914-41-9P 211914-42-0P 211914-57-7P  
211915-08-1P 211915-09-2P 211915-10-5P

**211915-11-6P 211915-49-0P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-(amidinoanilinomethyl)benzimidazole-5-carboxamides and analogs as antithrombotics)

RN 211914-41-9 CAPLUS

CN Glycine, N-[[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

/ Structure 14 in file .gra /

RN 211914-42-0 CAPLUS

CN Glycine, N-[[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

/ Structure 15 in file .gra /

RN 211914-57-7 CAPLUS

CN Glycine, N-[[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

/ Structure 16 in file .gra /

RN 211915-08-1 CAPLUS

CN Glycine,  
N-[[2-[[[4-[imino[[2-(methylsulfonyl)ethoxy]carbonyl]amino]methyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)

/ Structure 17 in file .gra /

/ Structure 18 in file .gra /

RN 211915-09-2 CAPLUS

CN Glycine,  
N-[[2-[[[4-[[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, methyl ester (9CI) (CA INDEX NAME)

/ Structure 19 in file .gra /

RN 211915-10-5 CAPLUS

CN Glycine,  
N-[[2-[[[4-[imino[(methoxycarbonyl)amino]methyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)

/ Structure 20 in file .gra /

RN 211915-11-6 CAPLUS  
 CN Glycine,  
 N-[[2-[[[4-[(ethoxycarbonyl)amino]iminomethyl]phenyl]amino]methy  
 l]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, methyl ester  
 (9CI) (CA INDEX NAME)

/ Structure 21 in file .gra /

RN 211915-49-0 CAPLUS  
 CN Glycine, N-[[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-  
 benzimidazol-5-yl]carbonyl]-N-2-pyridinyl- (9CI) (CA INDEX NAME)

/ Structure 22 in file .gra /

IT **211916-38-0**  
 RL: RCT (Reactant)  
 (prepn. of 2-(amidinoanilinomethyl)benzimidazole-5-carboxamides and  
 analogs as antithrombotics)  
 RN 211916-38-0 CAPLUS  
 CN Glycine, N-[[2-[[[4-cyanophenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-  
 yl]carbonyl]-N-2-pyridinyl-, methyl ester (9CI) (CA INDEX NAME)

/ Structure 23 in file .gra /

IT **211915-82-1P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of 2-(amidinoanilinomethyl)benzimidazole-5-carboxamides and  
 analogs as antithrombotics)  
 RN 211915-82-1 CAPLUS  
 CN Glycine, N-[[2-[[[4-cyanophenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-  
 yl]carbonyl]-N-2-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)

/ Structure 24 in file .gra /

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	8.72	551.91
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-1.07	-1.61

SESSION WILL BE HELD FOR 60 MINUTES  
 STN INTERNATIONAL SESSION SUSPENDED AT 17:08:04 ON 10 JUL 1999